

## Abstract

It has now been discovered that the use of the three-dimensional crystal structure coordinates of angiotensin-converting enzyme (ACE) will enable the design and synthesis, by means of computational chemistry and structure-guided drug design, of inhibitors of ACE that are highly selective and specific for either the N domain or the C domain of the enzyme, for the treatment of diverse diseases. The invention also relates to methods and processes for the structure-guided design and synthesis of dual N- and C-domain ACE inhibitors, and inhibitors that operate by competitive, non-competitive, uncompetitive, and irreversible mechanisms.